10553957

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* * * * * * * * * Welcome to STN International * * * * * * * * *

NEWS 1		Web Page for STN Seminar Schedule - N. America
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NEWS 4	MAR 31	CA/CAplus and CASREACT patent number format for U.S. applications updated
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NEWS 7	APR 04	STN AnaVist, Version 1, to be discontinued
NEWS 8	APR 15	WPIDS, WPINDEX, and WPIX enhanced with new predefined hit display formats
NEWS 9	APR 28	EMBASE Controlled Term thesaurus enhanced
NEWS 10	APR 28	IMSRESEARCH reloaded with enhancements
NEWS 11	MAY 30	INPAFAMDB now available on STN for patent family searching
NEWS 12	MAY 30	DGENE, PCTGEN, and USGENE enhanced with new homology sequence search option
NEWS 13	JUN 06	EPFULL enhanced with 260,000 English abstracts
NEWS 14	JUN 06	KOREAPAT updated with 41,000 documents
NEWS 15	JUN 13	USPATFULL and USPAT2 updated with 11-character patent numbers for U.S. applications
NEWS 16	JUN 19	CAS REGISTRY includes selected substances from web-based collections
NEWS 17	JUN 25	CA/CAplus and USPAT databases updated with IPC reclassification data
NEWS 18	JUN 30	AEROSPACE enhanced with more than 1 million U.S. patent records
NEWS 19	JUN 30	EMBASE, EMBAL, and LEMBASE updated with additional options to display authors and affiliated organizations
NEWS 20	JUN 30	STN on the Web enhanced with new STN AnaVist Assistant and BLAST plug-in
NEWS 21	JUN 30	STN AnaVist enhanced with database content from EPFULL
NEWS 22	JUL 28	CA/CAplus patent coverage enhanced
NEWS 23	JUL 28	EPFULL enhanced with additional legal status information from the epoline Register
NEWS 24	JUL 28	IFICDB, IFIPAT, and IFIUDB reloaded with enhancements
NEWS 25	JUL 28	STN Viewer performance improved
NEWS 26	AUG 01	INPADOCDB and INPAFAMDB coverage enhanced
NEWS 27	AUG 13	CA/CAplus enhanced with printed Chemical Abstracts

page images from 1967-1998
NEWS 28 AUG 15 CAOLD to be discontinued on December 31, 2008
NEWS 29 AUG 15 CAplus currency for Korean patents enhanced

NEWS EXPRESS JUNE 27 08 CURRENT WINDOWS VERSION IS V8.3,
AND CURRENT DISCOVER FILE IS DATED 23 JUNE 2008.

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* * * * * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 13:02:48 ON 24 AUG 2008

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STRUCTURE FILE UPDATES: 22 AUG 2008 HIGHEST RN 1042980-87-9
DICTIONARY FILE UPDATES: 22 AUG 2008 HIGHEST RN 1042980-87-9

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http://www.cas.org/support/stngen/stndoc/properties.html

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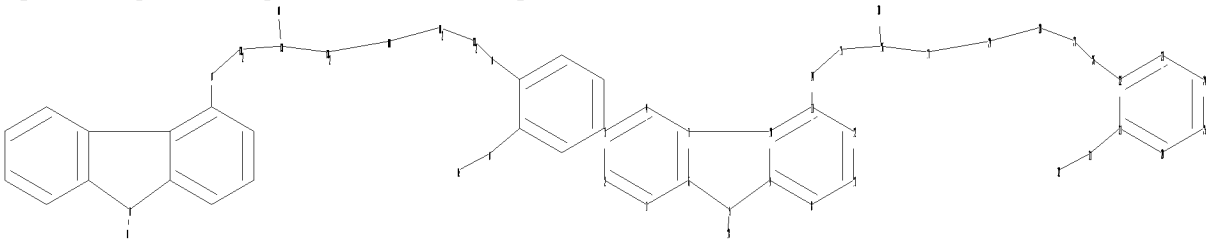

chain nodes :
14 15 16 17 18 26 27 28 29 30 31 32
ring nodes :
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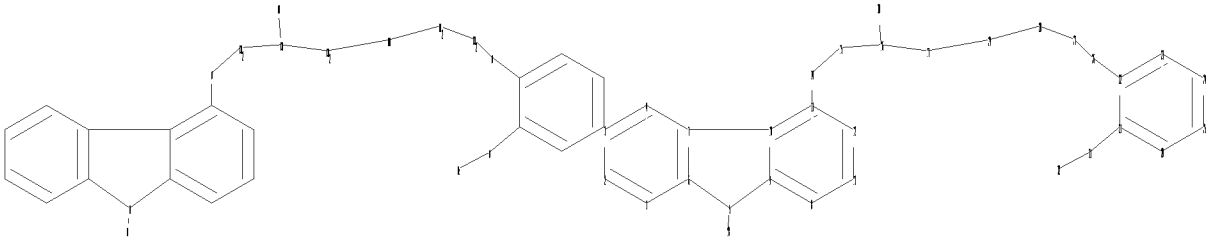
chain bonds :
5-18 11-14 14-15 15-16 16-17 16-30 17-29 21-31 22-26 26-27 27-28 28-29 31-32
ring bonds :
1-2 1-6 2-3 3-4 4-7 5-6 5-9 6-7 7-10 8-9 8-13 9-10 10-11 11-12 12-13 20-21 20-25 21-22 22-23 23-24 24-25
exact/norm bonds :
5-6 5-9 11-14 16-30 21-31 22-26
exact bonds :
5-18 7-10 14-15 15-16 16-17 17-29 26-27 27-28 28-29 31-32
normalized bonds :
1-2 1-6 2-3 3-4 4-7 6-7 8-9 8-13 9-10 10-11 11-12 12-13 20-21 20-25 21-22 22-23 23-24 24-25
isolated ring systems :
containing 1 : 20 :

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:Atom 12:Atom 13:Atom 14:CLASS 15:CLASS 16:Atom 17:Atom 18:CLASS 20:CLASS 21:Atom 22:Atom 23:Atom 24:Atom 25:Atom 26:CLASS 27:CLASS 28:CLASS 29:CLASS 30:CLASS 31:CLASS 32:CLASS

L1 STRUCTURE UPLOADED

=>
Uploading C:\Program Files\Stnexp\Queries\10553957Z.str



chain nodes :
14 15 16 17 18 26 27 28 29 30 31 32
ring nodes :
1 2 3 4 5 6 7 8 9 10 11 12 13 20 21 22 23 24 25
chain bonds :
5-18 11-14 14-15 15-16 16-17 16-30 17-29 21-31 22-26 26-27 27-28 28-29
31-32
ring bonds :
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20-21 20-25 21-22 22-23 23-24 24-25
exact/norm bonds :
5-6 5-9 11-14 16-30 21-31 22-26
exact bonds :
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21-22 22-23 23-24 24-25
isolated ring systems :
containing 1 : 20 :

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 12:Atom 13:Atom 14:CLASS 15:CLASS 16:Atom 17:Atom 18:CLASS 20:CLASS
21:Atom 22:Atom 23:Atom 24:Atom 25:Atom 26:CLASS 27:CLASS 28:CLASS
29:CLASS 30:CLASS 31:CLASS 32:CLASS

L2 STRUCTURE UPLOADED

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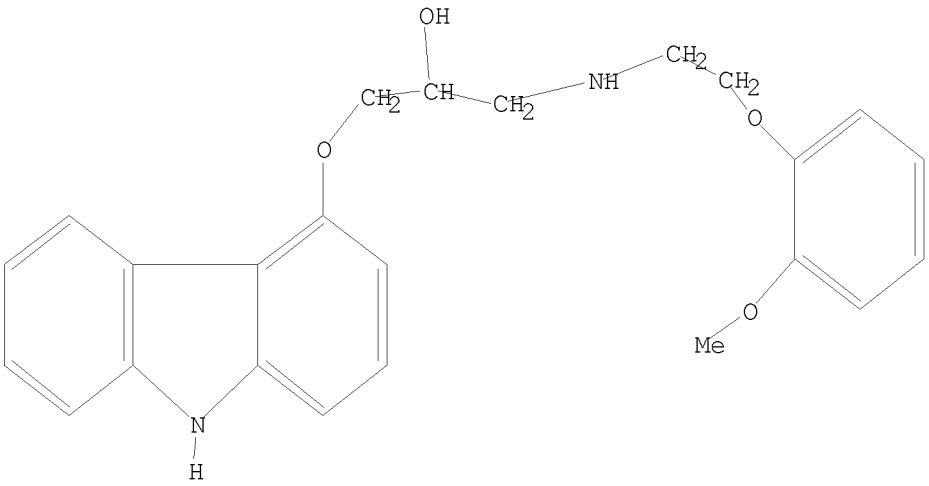
L1 HAS NO ANSWERS
L1 STR



Structure attributes must be viewed using STN Express query preparation.

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SAMPLE SCREEN SEARCH COMPLETED -       10 TO ITERATE

100.0% PROCESSED       10 ITERATIONS                                5 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:           11 TO      389
PROJECTED ANSWERS:               5 TO      234

L3            5 SEA SSS SAM L1

=> S L1 SSS FULL
FULL SEARCH INITIATED 13:03:55 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -      310 TO ITERATE

100.0% PROCESSED      310 ITERATIONS                            100 ANSWERS
SEARCH TIME: 00.00.01

L4          100 SEA SSS FUL L1

=> FIL HCAPLUS
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                         ENTRY    SESSION
FULL ESTIMATED COST                                     178.82     179.03

FILE 'HCAPLUS' ENTERED AT 13:04:02 ON 24 AUG 2008
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FILE COVERS 1907 - 24 Aug 2008 VOL 149 ISS 9
FILE LAST UPDATED: 22 Aug 2008 (20080822/ED)

HCAplus now includes complete International Patent Classification (IPC)
reclassification data for the second quarter of 2008.

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This file contains CAS Registry Numbers for easy and accurate
substance identification.

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=> S L4
L5        1858 L4

=> S L5 AND PROCESS
       2667765 PROCESS
       1827488 PROCESSES
       3981697 PROCESS
                 (PROCESS OR PROCESSES)
L6         131 L5 AND PROCESS

=> S L6 AND APROTIC ORGANIC SOLVENT
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             8 APROTICS
         15958 APROTIC
                 (APROTIC OR APROTICS)
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                 (ORG OR ORGS)
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                 (SOLVENT OR SOLVENTS)
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                 (APROTIC(W)ORGANIC(W)SOLVENT)
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=> S L6 AND APROTIC SOLVENT
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=> D HIS

     (FILE 'HOME' ENTERED AT 13:02:48 ON 24 AUG 2008)

     FILE 'REGISTRY' ENTERED AT 13:03:03 ON 24 AUG 2008
L1              STRUCTURE UPLOADED
L2              STRUCTURE UPLOADED
L3            5 S L1
L4          100 S L1 SSS FULL

     FILE 'HCAPLUS' ENTERED AT 13:04:02 ON 24 AUG 2008
L5         1858 S L4
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L6          131 S L5 AND PROCESS
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L8            1 S L6 AND APROTIC SOLVENT
L9            2 S L6 AND APROTIC
L10           4 S L6 AND CATALYST
L11           0 S L10 AND APROTIC SOLVENT
L12           1 S L10 AND APROTIC

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                (PROCESS OR PROCESSES)
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L18          1 L17 AND APROTIC SOLVENT

=> D HIS

     (FILE 'HOME' ENTERED AT 13:02:48 ON 24 AUG 2008)

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L2               STRUCTURE UPLOADED
L3             5 S L1
L4           100 S L1 SSS FULL

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L5          1858 S L4
L6           131 S L5 AND PROCESS
L7             1 S L6 AND APROTIC ORGANIC SOLVENT
L8             1 S L6 AND APROTIC SOLVENT
L9             2 S L6 AND APROTIC
L10            4 S L6 AND CATALYST
L11            0 S L10 AND APROTIC SOLVENT
L12            1 S L10 AND APROTIC
L13         1971 S CARVEDILOL
L14          137 S L13 AND PROCESS
L15            2 S L14 AND APROTIC
L16            1 S L14 AND APROTIC SOLVENT
L17          207 S L13 AND PREPARATION
L18            1 S L17 AND APROTIC SOLVENT

=> d l7 ibib abs hitstr tot

L7   ANSWER 1 OF 1  HCAPLUS  COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER:         2004:1154673  HCAPLUS
DOCUMENT NUMBER:          142:93675
TITLE:                    A process for preparation of
                          1-[9H-carbazol-4-yloxy]-3-[[2-(2-
                          methoxyphenoxy)ethyl]amino]propan-2-ol
INVENTOR(S):              Chhabada, Vijay Chhangamal; Rehani, Rajeev Budhdev;
                          Thennati, Rajamannar
PATENT ASSIGNEE(S):       Sun Pharmaceutical Industries Limited, India
SOURCE:                   PCT Int. Appl., 27 pp.
                          CODEN: PIXXD2
DOCUMENT TYPE:            Patent
LANGUAGE:                 English
FAMILY ACC. NUM. COUNT:   1
PATENT INFORMATION:

     PATENT NO.          KIND   DATE        APPLICATION NO.        DATE
     ----------------    ----   --------    --------------------   --------
     WO 2004113296        A1    20041229    WO 2004-IN52           20040304
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W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

IN 2003MU00647	A	20050211	IN 2003-MU647		20030620
US 20060270858	A1	20061130	US 2005-553957		20051019
PRIORITY APPLN. INFO.:			IN 2003-MU647	A	20030620
			IN 2003-MU721	A	20030717
			WO 2004-IN52	W	20040304

OTHER SOURCE(S): CASREACT 142:93675; MARPAT 142:93675
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

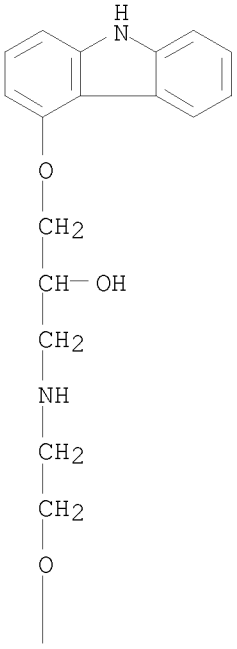
AB The present invention provides a process for preparation of 1-[9H-carbazol-4-yloxy]-3-[[2-(2-methoxyphenoxy)ethyl]amino]-propan-2-ol (I) in racemic form or in the form of optically active R or S enantiomer or its pharmaceutically acceptable salt, comprising, reacting 4-(oxiranylmethoxy)-9H-carbazole (II) or the R or S enantiomer thereof with a compound of formula (III) (wherein R1 = benzyl or substituted benzyl), in an aprotic organic solvent in presence of a catalyst to obtain a compound of formula (IV) (wherein R1 is as defined above), or the R or S enantiomer thereof. The resultant compound IV is subjected to debenzylation reaction by catalytic hydrogenation to obtain the compound I, if desired converting the resultant compound I to a pharmaceutically acceptable salt thereof. Thus, to 400 mL EtOAc, 70 g (0.27 mol) anhydrous N-[2-[2-(methoxy)phenoxy]ethyl]benzylamine, 10.25 g (0.075 mol) anhydrous ZnCl2, and 50 g (0.21 mol) 4-(oxiranylmethoxy)-9H-carbazole were added and the reaction mixture was heated to 70-75° for 3 h (TLC control for checking conversion to N-benzylcarvedilol), cooled to ambient temperature, and quenched into 100 mL 12-15% aqueous NH3. The aqueous layer was separated, and the product enriched organic layer was washed with water till neutral Ph, treated with charcoal, and filtered. To this solution of N-benzyl carvedilol in EtOAc, 7 g wet 5% Pd/C catalyst (50% moisture content) was added and the reaction mixture was hydrogenated at 3.5-4.5 Kg/cm2 at temperature 60-70° for a period of about 10 h and filtered. The filtrate was concentrated to remove EtOAc. To the resultant syrupy mass n-butanol (100 mL) was added and the solution was stirred for .apprx.10 h. The crystals were separated by filtration, washed successively with n-butanol (50 mL) and toluene (50 mL) to obtain carvedilol (47 g) which was recrystd. from 3 vols. EtOAc to obtain carvedilol (42 g).

IT 72956-09-3P, Carvedilol 95093-99-5P, (R)-1-(9H-Carbazol-4-yloxy)-3-[[2-[2-(methoxy)phenoxy]ethyl]amino]propan-2-ol 95094-00-1P, (S)-1-(9H-Carbazol-4-yloxy)-3-[[2-[2-(methoxy)phenoxy]ethyl]amino]propan-2-ol

RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(preparation of carvedilol by amination of oxiranylmethoxycarbazole with N-(methoxyphenoxyethyl)benzylamine and hydrogenolysis of N-benzylcarvedilol)

RN 72956-09-3 HCAPLUS
CN 2-Propanol, 1-(9H-carbazol-4-yloxy)-3-[[2-(2-methoxyphenoxy)ethyl]amino]- (CA INDEX NAME)

PAGE 1-A



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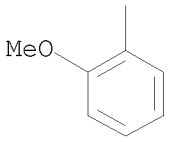

RN 95093-99-5 HCAPLUS
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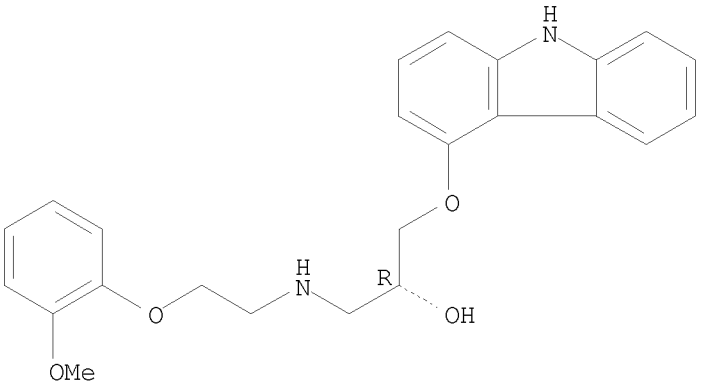
Absolute stereochemistry. Rotation (+).



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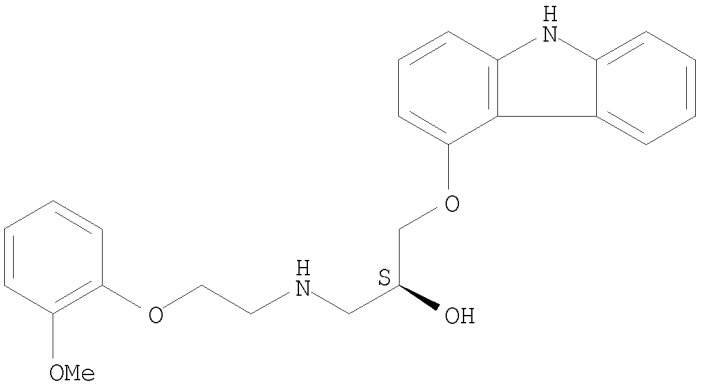
Absolute stereochemistry. Rotation (-).



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d l8 ibib abs hitstr tot

L8 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2007:38855 HCAPLUS
DOCUMENT NUMBER: 146:142505
TITLE: Process for preparation of carvedilol
INVENTOR(S): Kumar, Ashok; Saxena, Ashvini; Bhattacharyya, Anindya; Singh Sengar, Amit Vikram; Pathak, Gunjan Pramod; Soudagar, Satish Rajanikant; Mathur, Pramil Kumar; Nijasure, Avinash Manohar; Salunke, Sanjukumar Motiram; Gautam, Prashant; Ramsingh, Thakur Gajendrasingh; Jadhav, Dilip Uttam
PATENT ASSIGNEE(S): IPCA Laboratories Ltd., India
SOURCE: Eur. Pat. Appl., 11pp.

CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1741700	A1	20070110	EP 2006-116752	20060706
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU				
IN 2005MU00807	A	20070629	IN 2005-MU807	20050706
US 20070027202	A1	20070201	US 2006-480526	20060705
PRIORITY APPLN. INFO.:			IN 2005-MU807 A	20050706

OTHER SOURCE(S): CASREACT 146:142505

AB Disclosed herein is a process for preparation of carvedilol free from impurity, which comprises reaction of 4-(2,3-epoxypropoxy)carbazole with 2-(2-methoxyphenoxy)ethylamine in a polar aprotic solvent, followed by isolation of carvedilol as an acid addition salt and subsequent conversion into pure carvedilol.

IT 918903-19-2P 918903-21-6P 918903-23-8P
918903-28-3P
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of carvedilol)

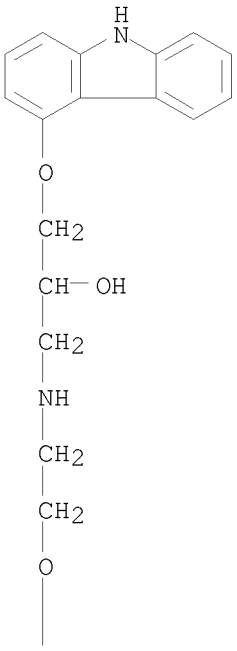
RN 918903-19-2 HCAPLUS

CN 2-Propanol, 1-(9H-carbazol-4-yloxy)-3-[[2-(2-methoxyphenoxy)ethyl]amino]-, 4-methylbenzenesulfonate (1:?) (CA INDEX NAME)

CM 1

CRN 72956-09-3
CMF C24 H26 N2 O4

PAGE 1-A



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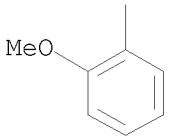

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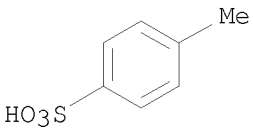
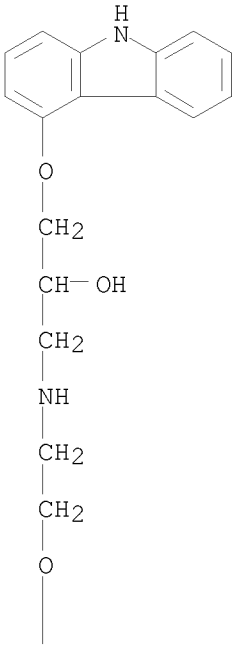


RN 918903-21-6 HCAPLUS
CN 2-Propanol, 1-(9H-carbazol-4-yloxy)-3-[[2-(2-methoxyphenoxy)ethyl]amino]-, sulfate (1:?) (CA INDEX NAME)

CM 1

CRN 72956-09-3
CMF C24 H26 N2 O4

PAGE 1-A



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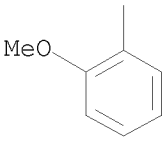

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CRN 7664-93-9
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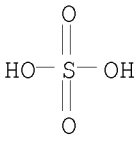


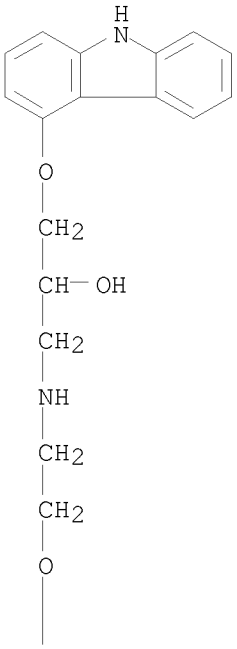
RN 918903-23-8 HCAPLUS

CN 2-Propanol, 1-(9H-carbazol-4-yloxy)-3-[[2-(2-methoxyphenoxy)ethyl]amino]-, acetate (1:?) (CA INDEX NAME)

CM 1

CRN 72956-09-3
CMF C24 H26 N2 O4

PAGE 1-A



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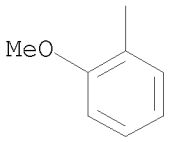

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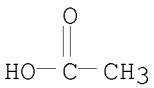
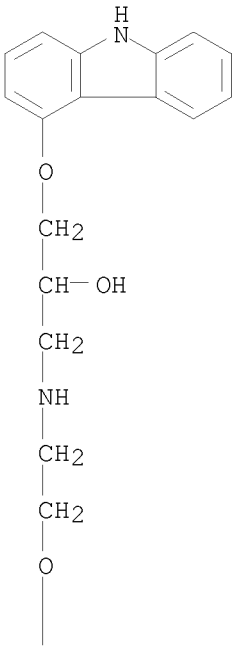


RN 918903-28-3 HCAPLUS
CN 2-Propanol, 1-(9H-carbazol-4-yloxy)-3-[[2-(2-methoxyphenoxy)ethyl]amino]-, phosphate (1:?) (CA INDEX NAME)

CM 1

CRN 72956-09-3
CMF C24 H26 N2 O4

PAGE 1-A



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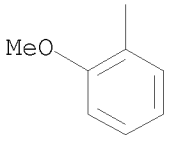

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CRN 7664-38-2
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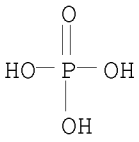
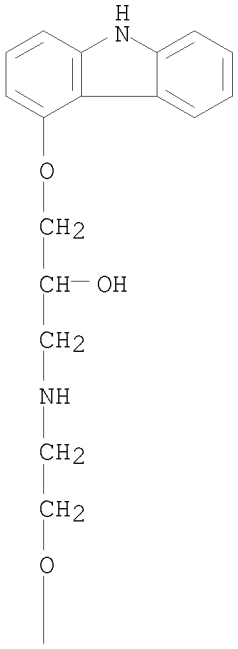


IT 72956-09-3P, Carvedilol
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(preparation of carvedilol)
RN 72956-09-3 HCAPLUS
CN 2-Propanol, 1-(9H-carbazol-4-yloxy)-3-[[2-(2-methoxyphenoxy)ethyl]amino]- (CA INDEX NAME)

PAGE 1-A



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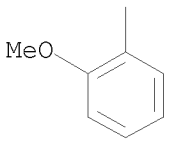


REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d l9 ibib abs hitstr tot

L9 ANSWER 1 OF 2 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2007:38855 HCAPLUS
DOCUMENT NUMBER: 146:142505
TITLE: Process for preparation of carvedilol
INVENTOR(S): Kumar, Ashok; Saxena, Ashvini; Bhattacharyya, Anindya; Singh Sengar, Amit Vikram; Pathak, Gunjan Pramod; Soudagar, Satish Rajanikant; Mathur, Pramil Kumar; Nijasure, Avinash Manohar; Salunke, Sanjukumar Motiram; Gautam, Prashant; Ramsingh, Thakur Gajendrasingh; Jadhav, Dilip Uttam
PATENT ASSIGNEE(S): IPCA Laboratories Ltd., India
SOURCE: Eur. Pat. Appl., 11pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

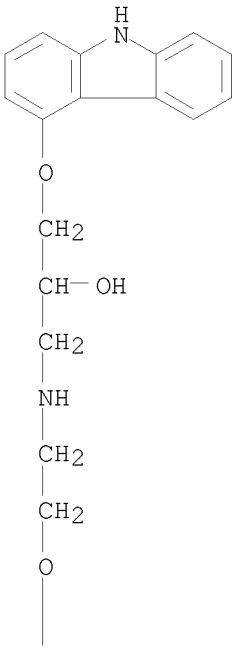
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1741700	A1	20070110	EP 2006-116752	20060706
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU				
IN 2005MU00807	A	20070629	IN 2005-MU807	20050706
US 20070027202	A1	20070201	US 2006-480526	20060705
PRIORITY APPLN. INFO.:			IN 2005-MU807 A	20050706

OTHER SOURCE(S): CASREACT 146:142505
AB Disclosed herein is a process for preparation of carvedilol free from impurity, which comprises reaction of 4-(2,3-epoxypropoxy)carbazole with 2-(2-methoxyphenoxy)ethylamine in a polar aprotic solvent, followed by isolation of carvedilol as an acid addition salt and subsequent conversion into pure carvedilol.
IT 918903-19-2P 918903-21-6P 918903-23-8P
918903-28-3P
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of carvedilol)
RN 918903-19-2 HCAPLUS
CN 2-Propanol, 1-(9H-carbazol-4-yloxy)-3-[[2-(2-methoxyphenoxy)ethyl]amino]-, 4-methylbenzenesulfonate (1:?) (CA INDEX NAME)

CM 1

CRN 72956-09-3
CMF C24 H26 N2 O4

PAGE 1-A



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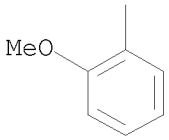
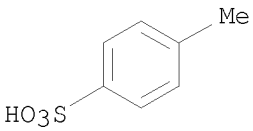


CM 2

CRN 104-15-4
CMF C7 H8 O3 S

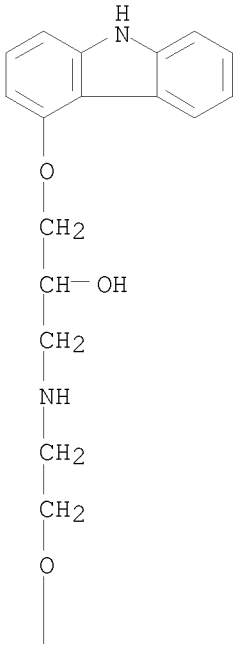


RN 918903-21-6 HCAPLUS
CN 2-Propanol, 1-(9H-carbazol-4-yloxy)-3-[[2-(2-methoxyphenoxy)ethyl]amino]-, sulfate (1:?) (CA INDEX NAME)

CM 1

CRN 72956-09-3
CMF C24 H26 N2 O4

PAGE 1-A



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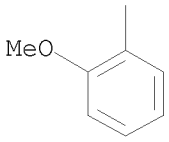

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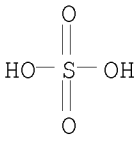
CMF H2 O4 S



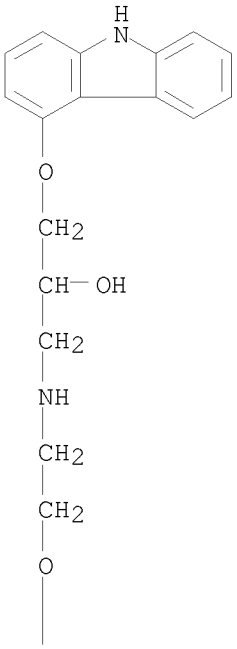
RN 918903-23-8 HCAPLUS

CN 2-Propanol, 1-(9H-carbazol-4-yloxy)-3-[[2-(2-methoxyphenoxy)ethyl]amino]-, acetate (1:?) (CA INDEX NAME)

CM 1

CRN 72956-09-3
CMF C24 H26 N2 O4

PAGE 1-A



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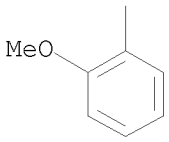

CM 2

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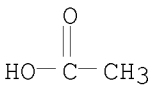
CMF C2 H4 O2

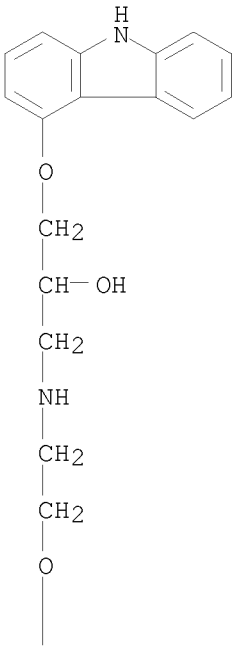


RN 918903-28-3 HCAPLUS
CN 2-Propanol, 1-(9H-carbazol-4-yloxy)-3-[[2-(2-methoxyphenoxy)ethyl]amino]-, phosphate (1:?) (CA INDEX NAME)

CM 1

CRN 72956-09-3
CMF C24 H26 N2 O4

PAGE 1-A



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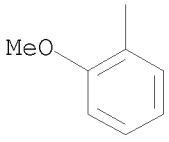
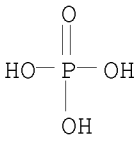


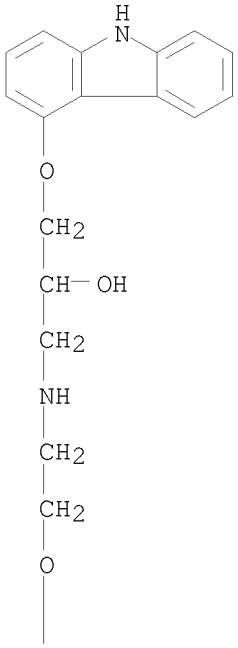
CM 2

CRN 7664-38-2
CMF H3 O4 P



IT 72956-09-3P, Carvedilol
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(preparation of carvedilol)
RN 72956-09-3 HCAPLUS
CN 2-Propanol, 1-(9H-carbazol-4-yloxy)-3-[[2-(2-methoxyphenoxy)ethyl]amino]- (CA INDEX NAME)

PAGE 1-A



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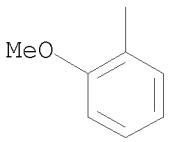


REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 2 OF 2 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2004:1154673 HCAPLUS
DOCUMENT NUMBER: 142:93675
TITLE: A process for preparation of 1-[9H-carbazol-4-yloxy]-3-[[2-(2-methoxyphenoxy)ethyl]amino]propan-2-ol
INVENTOR(S): Chhabada, Vijay Chhangamal; Rehani, Rajeev Budhdev; Thennati, Rajamannar
PATENT ASSIGNEE(S): Sun Pharmaceutical Industries Limited, India
SOURCE: PCT Int. Appl., 27 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2004113296	A1	20041229	WO 2004-IN52		20040304
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW					
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
IN 2003MU00647	A	20050211	IN 2003-MU647		20030620
US 20060270858	A1	20061130	US 2005-553957		20051019
PRIORITY APPLN. INFO.:			IN 2003-MU647	A	20030620
			IN 2003-MU721	A	20030717
			WO 2004-IN52	W	20040304

OTHER SOURCE(S): CASREACT 142:93675; MARPAT 142:93675
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The present invention provides a process for preparation of 1-[9H-carbazol-4-yloxy]-3-[[2-(2-methoxyphenoxy)ethyl]amino]-propan-2-ol (I) in racemic form or in the form of optically active R or S enantiomer or its pharmaceutically acceptable salt, comprising, reacting 4-(oxiranylmethoxy)-9H-carbazole (II) or the R or S enantiomer thereof with a compound of formula (III) (wherein R1 = benzyl or substituted benzyl), in an aprotic organic solvent in presence of a catalyst to obtain a compound of formula (IV) (wherein R1 is as defined above), or the R or S enantiomer thereof. The resultant compound IV is subjected to debenzylation reaction by catalytic hydrogenation to obtain the compound I, if desired converting the resultant compound I to a pharmaceutically acceptable salt thereof. Thus, to 400 mL EtOAc, 70 g (0.27 mol) anhydrous N-[2-[2-(methoxy)phenoxy]ethyl]benzylamine, 10.25 g (0.075 mol) anhydrous ZnCl2, and 50 g (0.21 mol) 4-(oxiranylmethoxy)-9H-carbazole were added and

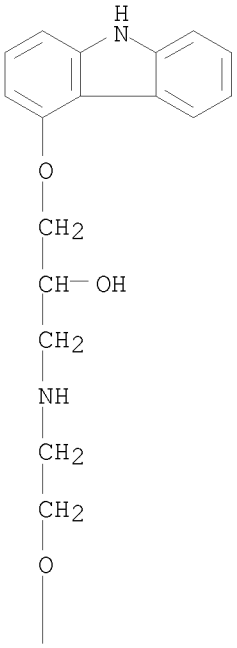
the reaction mixture was heated to 70-75° for 3 h (TLC control for checking conversion to N-benzylcarvedilol), cooled to ambient temperature, and quenched into 100 mL 12-15% aqueous NH3. The aqueous layer was separated, and the product enriched organic layer was washed with water till neutral Ph, treated with charcoal, and filtered. To this solution of N-benzyl carvedilol in EtOAc, 7 g wet 5% Pd/C catalyst (50% moisture content) was added and the reaction mixture was hydrogenated at 3.5-4.5 Kg/cm2 at temperature 60-70° for a period of about 10 h and filtered. The filtrate was concentrated to remove EtOAc. To the resultant syrupy mass n-butanol (100 mL) was added and the solution was stirred for .apprx.10 h. The crystals were separated by filtration, washed successively with n-butanol (50 mL) and toluene (50 mL) to obtain carvedilol (47 g) which was recrystd. from 3 vols. EtOAc to obtain carvedilol (42 g).

IT 72956-09-3P, Carvedilol 95093-99-5P, (R)-1-(9H-Carbazol-4-yloxy)-3-[[2-[2-(methoxy)phenoxy]ethyl]amino]propan-2-ol 95094-00-1P, (S)-1-(9H-Carbazol-4-yloxy)-3-[[2-[2-(methoxy)phenoxy]ethyl]amino]propan-2-ol
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(preparation of carvedilol by amination of oxiranylmethoxycarbazole with N-(methoxyphenoxyethyl)benzylamine and hydrogenolysis of N-benzylcarvedilol)

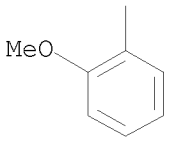
RN 72956-09-3 HCAPLUS

CN 2-Propanol, 1-(9H-carbazol-4-yloxy)-3-[[2-(2-methoxyphenoxy)ethyl]amino]- (CA INDEX NAME)

PAGE 1-A



PAGE 2-A



RN 95093-99-5 HCAPLUS
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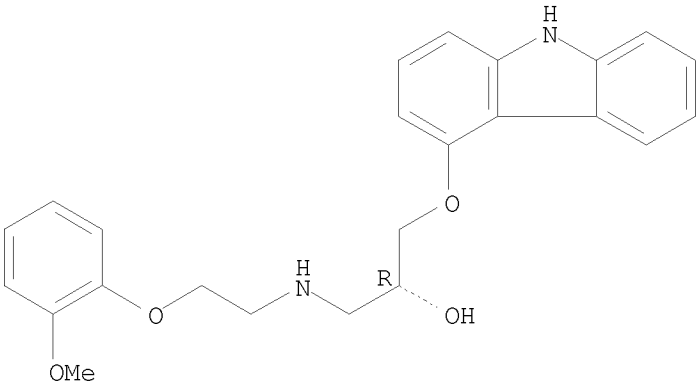
Absolute stereochemistry. Rotation (+).



RN 95094-00-1 HCAPLUS
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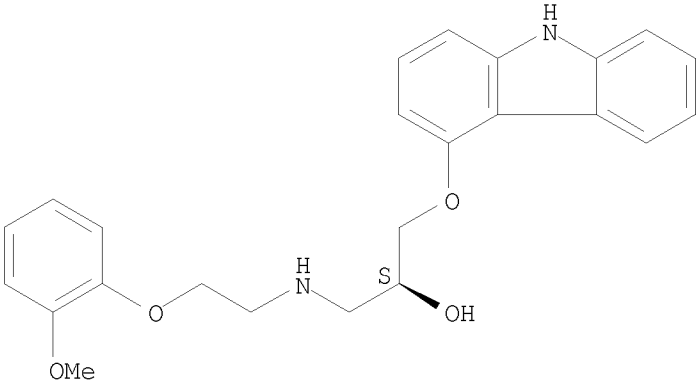
Absolute stereochemistry. Rotation (-).



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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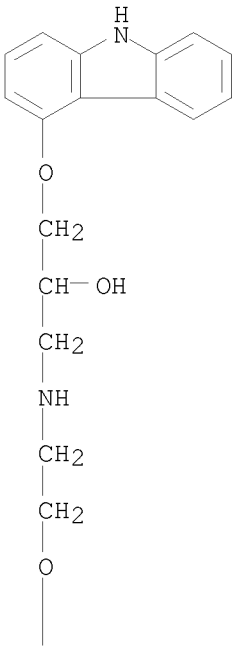
L10 ANSWER 1 OF 4 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2007:397789 HCAPLUS
DOCUMENT NUMBER: 148:239026
TITLE: A cost effective process for production of carvedilol
INVENTOR(S): Shankar, Sanganabhatla; Pandurang, Suryavanshi Jitendra; Moorthy, Koduru Ramanarasimha
PATENT ASSIGNEE(S): Wanbury Limited, India
SOURCE: Indian Pat. Appl., 8pp.
CODEN: INXXBQ
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

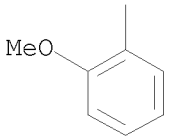
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
IN 2006MU00771	A	20060825	IN 2006-MU771	20060522

PRIORITY APPLN. INFO.: IN 2006-MU771 20060522
OTHER SOURCE(S): CASREACT 148:239026
AB A cost effective process for preparation of highly pure carvedilol substantially free from impurities is described herein; 1-[carbazolyl-(4)-oxy]-3-[N-benzyl-2-(2-methoxyphenoxy)-ethylamino]-propan-2-ol is catalytically hydrogenated using inexpensive catalyst like Raney Nickel and isolating crude carvedilol free from penultimate and other major impurity; which is purified in an Et acetate/methyl Et ketone to obtain pure Carvedilol.
IT 72956-09-3P, Carvedilol
RL: SPN (Synthetic preparation); PREP (Preparation)
(a cost effective process for production of carvedilol)
RN 72956-09-3 HCAPLUS
CN 2-Propanol, 1-(9H-carbazol-4-yloxy)-3-[[2-(2-methoxyphenoxy)ethyl]amino]- (CA INDEX NAME)

PAGE 1-A



PAGE 2-A



L10 ANSWER 2 OF 4 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2004:1154673 HCAPLUS
DOCUMENT NUMBER: 142:93675
TITLE: A process for preparation of 1-[9H-carbazol-4-yloxy]-3-[[2-(2-methoxyphenoxy)ethyl]amino]propan-2-ol
INVENTOR(S): Chhabada, Vijay Chhangamal; Rehani, Rajeev Budhdev; Thennati, Rajamannar
PATENT ASSIGNEE(S): Sun Pharmaceutical Industries Limited, India
SOURCE: PCT Int. Appl., 27 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

WO 2004113296 A1 20041229 WO 2004-IN52 20040304
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
IN 2003MU00647 A 20050211 IN 2003-MU647 20030620
US 20060270858 A1 20061130 US 2005-553957 20051019
PRIORITY APPLN. INFO.: IN 2003-MU647 A 20030620
IN 2003-MU721 A 20030717
WO 2004-IN52 W 20040304
OTHER SOURCE(S): CASREACT 142:93675; MARPAT 142:93675
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

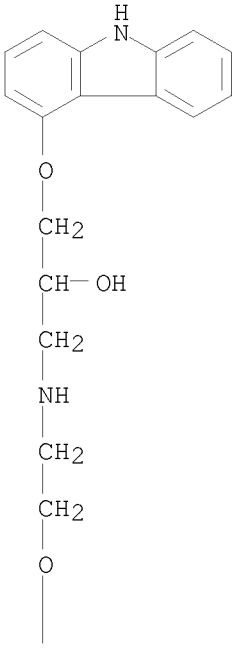
AB The present invention provides a process for preparation of 1-[9H-carbazol-4-yloxy]-3-[[2-(2-methoxyphenoxy)ethyl]amino]-propan-2-ol (I) in racemic form or in the form of optically active R or S enantiomer or its pharmaceutically acceptable salt, comprising, reacting 4-(oxiranylmethoxy)-9H-carbazole (II) or the R or S enantiomer thereof with a compound of formula (III) (wherein R1 = benzyl or substituted benzyl), in an aprotic organic solvent in presence of a catalyst to obtain a compound of formula (IV) (wherein R1 is as defined above), or the R or S enantiomer thereof. The resultant compound IV is subjected to debenzylation reaction by catalytic hydrogenation to obtain the compound I, if desired converting the resultant compound I to a pharmaceutically acceptable salt thereof. Thus, to 400 mL EtOAc, 70 g (0.27 mol) anhydrous N-[2-[2-(methoxy)phenoxy]ethyl]benzylamine, 10.25 g (0.075 mol) anhydrous ZnCl2, and 50 g (0.21 mol) 4-(oxiranylmethoxy)-9H-carbazole were added and the reaction mixture was heated to 70-75° for 3 h (TLC control for checking conversion to N-benzylcarvedilol), cooled to ambient temperature, and quenched into 100 mL 12-15% aqueous NH3. The aqueous layer was separated, and the product enriched organic layer was washed with water till neutral Ph, treated with charcoal, and filtered. To this solution of N-benzyl carvedilol in EtOAc, 7 g wet 5% Pd/C catalyst (50% moisture content) was added and the reaction mixture was hydrogenated at 3.5-4.5 Kg/cm2 at temperature 60-70° for a period of about 10 h and filtered. The filtrate was concentrated to remove EtOAc. To the resultant syrupy mass n-butanol (100 mL) was added and the solution was stirred for .apprx.10 h. The crystals were separated by filtration, washed successively with n-butanol (50 mL) and toluene (50 mL) to obtain carvedilol (47 g) which was recrystd. from 3 vols. EtOAc to obtain carvedilol (42 g).

IT 72956-09-3P, Carvedilol 95093-99-5P, (R)-1-(9H-Carbazol-4-yloxy)-3-[[2-[2-(methoxy)phenoxy]ethyl]amino]propan-2-ol 95094-00-1P, (S)-1-(9H-Carbazol-4-yloxy)-3-[[2-[2-(methoxy)phenoxy]ethyl]amino]propan-2-ol

RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(preparation of carvedilol by amination of oxiranylmethoxycarbazole with N-(methoxyphenoxyethyl)benzylamine and hydrogenolysis of N-benzylcarvedilol)

RN 72956-09-3 HCAPLUS
CN 2-Propanol, 1-(9H-carbazol-4-yloxy)-3-[[2-(2-methoxyphenoxy)ethyl]amino]- (CA INDEX NAME)

PAGE 1-A



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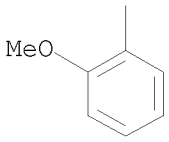
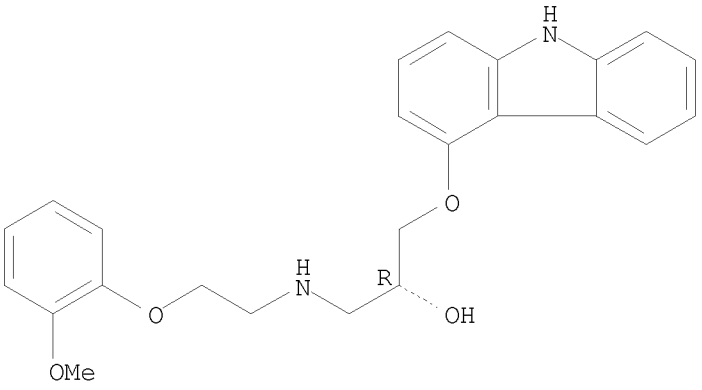


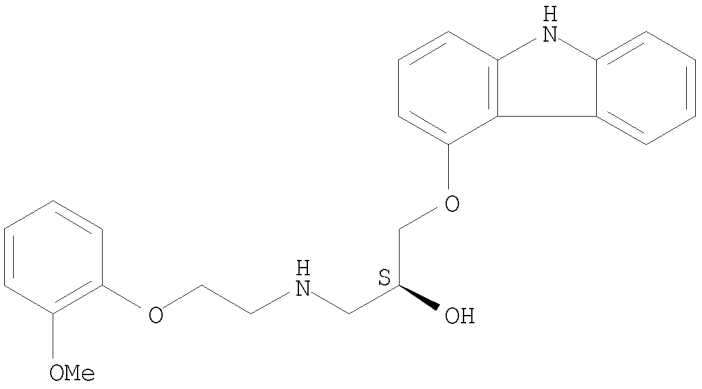
RN 95093-99-5 HCAPLUS
CN 2-Propanol, 1-(9H-carbazol-4-yloxy)-3-[[2-(2-methoxyphenoxy)ethyl]amino]-, (2R)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



RN 95094-00-1 HCAPLUS
CN 2-Propanol, 1-(9H-carbazol-4-yloxy)-3-[[2-(2-methoxyphenoxy)ethyl]amino]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 3 OF 4 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2002:556143 HCAPLUS
DOCUMENT NUMBER: 137:125080
TITLE: Process for preparing heterocyclic indene analogs by cyclocarbonylation at moderate temperatures and catalyst loading
INVENTOR(S): Scalone, Michelangelo; Zeibig, Thomas Albert
PATENT ASSIGNEE(S): Hoffmann-LaRoche Inc., Switz.
SOURCE: U.S. Pat. Appl. Publ., 19 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20020099223	A1	20020725	US 2002-54462	20020122
US 6777559	B2	20040817		
CA 2434408	A1	20020801	CA 2002-2434408	20020122
WO 2002059089	A2	20020801	WO 2002-EP583	20020122
WO 2002059089	A3	20021031		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2002247645	A1	20020806	AU 2002-247645	20020122
EP 1355880	A2	20031029	EP 2002-716673	20020122
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004519465	T	20040702	JP 2002-559391	20020122
JP 4056883	B2	20080305		
IN 2003CN01126	A	20050422	IN 2003-CN1126	20030722
MX 2003PA06606	A	20030922	MX 2003-PA6606	20030723
US 20040127723	A1	20040701	US 2004-763296	20040122
US 7169935	B2	20070130		

PRIORITY APPLN. INFO.:	EP 2001-101584	A	20010125
	US 2002-54462	A3	20020122
	WO 2002-EP583	W	20020122

OTHER SOURCE(S): CASREACT 137:125080; MARPAT 137:125080

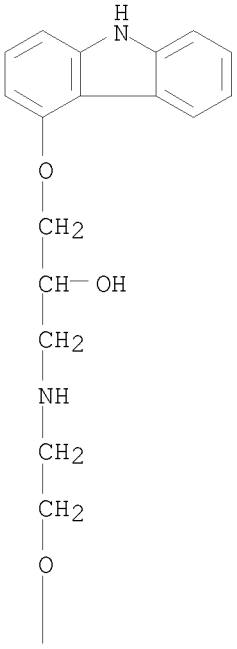
AB A process for the preparation heterocyclic indene analogs, especially with the preparation of 4-hydroxycarbazole or N-protected 4-hydroxycarbazole, involves cyclocarbonylation followed by saponification This process avoids high temps. and high catalyst loadings.

IT 72956-09-3P, Carvedilol
RL: IMF (Industrial manufacture); PREP (Preparation)
(process for preparing heterocyclic indene analogs by cyclocarbonylation at moderate temps. and catalyst loading)

RN 72956-09-3 HCAPLUS

CN 2-Propanol, 1-(9H-carbazol-4-yloxy)-3-[[2-(2-methoxyphenoxy)ethyl]amino]- (CA INDEX NAME)

PAGE 1-A



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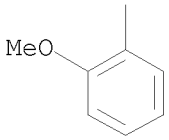


REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 4 OF 4 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1999:67254 HCAPLUS
DOCUMENT NUMBER: 130:262077
TITLE: Carvedilol inhibition of lipid peroxidation. A new antioxidative mechanism
AUTHOR(S): Tadolini, Bruna; Franconi, Flavia
CORPORATE SOURCE: Dipartmento di Scienze Biomediche, Sezione di Biochimica, Universita di Sassari, Sassari, I-07100, Italy
SOURCE: Free Radical Research (1998), 29(5), 377-387
CODEN: FRARER; ISSN: 1071-5762
PUBLISHER: Harwood Academic Publishers
DOCUMENT TYPE: Journal
LANGUAGE: English
AB To define the mol. mechanism(s) of carvedilol inhibition of lipid peroxidn. we have utilized model systems that allow us to study the

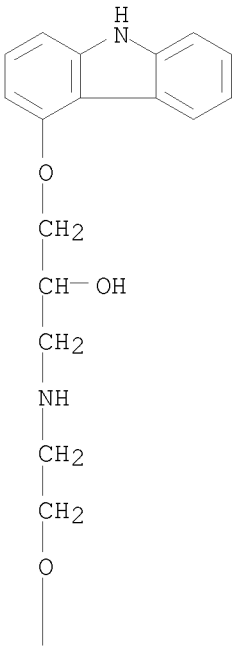
different reactions involved in this complex process. Carvedilol inhibits the peroxidn. of sonicated phosphatidylcholine liposomes triggered by FeCl2 addition whereas atenolol, pindolol and labetalol are ineffective. The inhibition proved not to be ascribable (a) to an effect on Fe2+ autoxidn. and thus on the generation of oxygen derived radical initiators; (b) to the scavenging of the inorg. initiators O.ovrhdot.2- and .OH; (c) to an effect on the reductive cleavage of organic hydroperoxides by FeCl2; (d) to the scavenging of organic initiators. The observations that (a) carvedilol effectiveness is inversely proportional to the concentration of FeCl2 and lipid hydroperoxides in the assay; (b) the drug prevents the onset of lipid peroxidn. stimulated by FeCl3 addition and; (c) it can form a complex with Fe3+, suggest a mol. mechanism for carvedilol action. It may inhibit lipid peroxidn. by binding the Fe3+ generated during the oxidation of Fe2+ by lipid hydroperoxides in the substrate. The lag time that carvedilol introduces in the peroxidative process would correspond to the time taken for carvedilol to be titrated by Fe3+; when the drug is consumed the Fe3+ accumulates to reach the critical parameter that stimulates peroxidn. According to this mol. mechanism the antioxidant potency of carvedilol can be ascribed to its ability to bind a species, Fe3+, that is a catalyst of the process and to its lipophilic nature that concs. it in the membranes where Fe3+ is generated by a site-specific mechanism.

IT 72956-09-3, Carvedilol
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(carvedilol inhibition of lipid peroxidn.: new antioxidative mechanism)

RN 72956-09-3 HCAPLUS

CN 2-Propanol, 1-(9H-carbazol-4-yloxy)-3-[[2-(2-methoxyphenoxy)ethyl]amino]- (CA INDEX NAME)

PAGE 1-A



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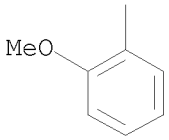

REFERENCE COUNT: 38 THERE ARE 38 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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L12 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2004:1154673 HCAPLUS
DOCUMENT NUMBER: 142:93675
TITLE: A process for preparation of 1-[9H-carbazol-4-yloxy]-3-[[2-(2-methoxyphenoxy)ethyl]amino]propan-2-ol
INVENTOR(S): Chhabada, Vijay Chhangamal; Rehani, Rajeev Budhdev; Thennati, Rajamannar
PATENT ASSIGNEE(S): Sun Pharmaceutical Industries Limited, India
SOURCE: PCT Int. Appl., 27 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2004113296	A1	20041229	WO 2004-IN52		20040304
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW					
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
IN 2003MU00647	A	20050211	IN 2003-MU647		20030620
US 20060270858	A1	20061130	US 2005-553957		20051019
PRIORITY APPLN. INFO.:			IN 2003-MU647	A	20030620
			IN 2003-MU721	A	20030717
			WO 2004-IN52	W	20040304

OTHER SOURCE(S): CASREACT 142:93675; MARPAT 142:93675
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The present invention provides a process for preparation of 1-[9H-carbazol-4-yloxy]-3-[[2-(2-methoxyphenoxy)ethyl]amino]-propan-2-ol (I) in racemic form or in the form of optically active R or S enantiomer or its pharmaceutically acceptable salt, comprising, reacting 4-(oxiranylmethoxy)-9H-carbazole (II) or the R or S enantiomer thereof with a compound of formula (III) (wherein R1 = benzyl or substituted benzyl), in an aprotic organic solvent in presence of a catalyst to obtain a compound of formula (IV) (wherein R1 is as defined above), or the R or S enantiomer thereof. The resultant compound IV is subjected to debenzylation reaction by catalytic hydrogenation to obtain the compound I, if desired converting the resultant compound I to a pharmaceutically acceptable salt thereof. Thus, to 400 mL EtOAc, 70 g (0.27 mol) anhydrous N-[2-[2-(methoxy)phenoxy]ethyl]benzylamine, 10.25 g (0.075 mol) anhydrous ZnCl2, and 50 g (0.21 mol) 4-(oxiranylmethoxy)-9H-carbazole were added and the reaction mixture was heated to 70-75° for 3 h (TLC control for checking conversion to N-benzylcarvedilol), cooled to ambient temperature, and quenched into 100 mL 12-15% aqueous NH3. The aqueous layer was separated, and the product enriched organic layer was washed with water till neutral Ph, treated with charcoal, and filtered. To this solution of N-benzyl carvedilol in EtOAc, 7 g wet 5% Pd/C catalyst (50% moisture content) was added and the reaction mixture was hydrogenated at 3.5-4.5 Kg/cm2 at temperature 60-70° for a period of about 10 h and filtered. The filtrate was concentrated to remove EtOAc. To the resultant syrupy mass n-butanol (100 mL) was added and the solution was stirred for .apprx.10 h. The crystals were separated by filtration, washed successively

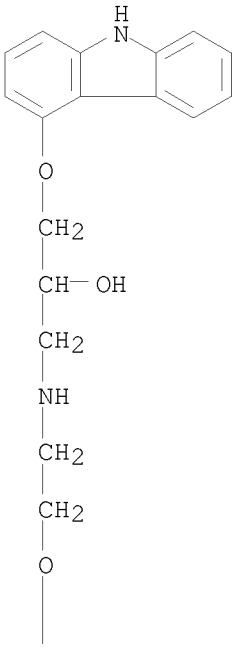
with n-butanol (50 mL) and toluene (50 mL) to obtain carvedilol (47 g) which was recrystd. from 3 vols. EtOAc to obtain carvedilol (42 g).

IT 72956-09-3P, Carvedilol 95093-99-5P, (R)-1-(9H-Carbazol-4-yloxy)-3-[[2-[2-(methoxy)phenoxy]ethyl]amino]propan-2-ol 95094-00-1P, (S)-1-(9H-Carbazol-4-yloxy)-3-[[2-[2-(methoxy)phenoxy]ethyl]amino]propan-2-ol
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(preparation of carvedilol by amination of oxiranylmethoxycarbazole with N-(methoxyphenoxyethyl)benzylamine and hydrogenolysis of N-benzylcarvedilol)

RN 72956-09-3 HCAPLUS

CN 2-Propanol, 1-(9H-carbazol-4-yloxy)-3-[[2-(2-methoxyphenoxy)ethyl]amino]- (CA INDEX NAME)

PAGE 1-A



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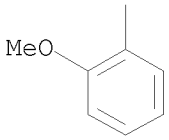
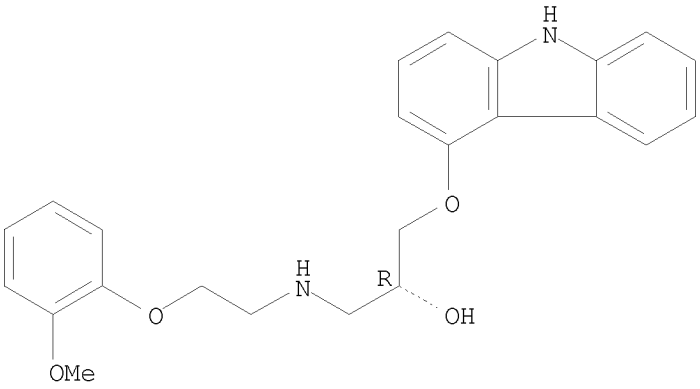


RN 95093-99-5 HCAPLUS

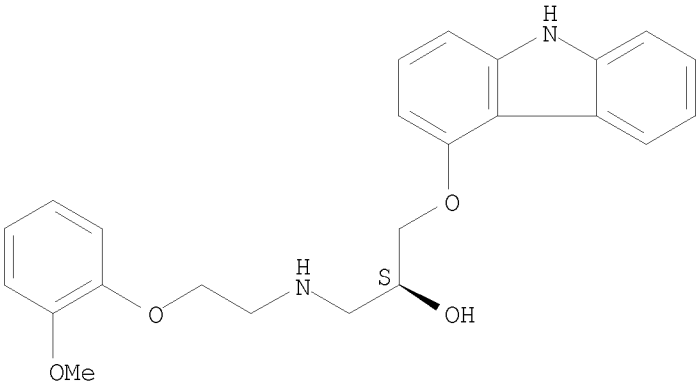
CN 2-Propanol, 1-(9H-carbazol-4-yloxy)-3-[[2-(2-methoxyphenoxy)ethyl]amino]-, (2R)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



RN 95094-00-1 HCAPLUS
CN 2-Propanol, 1-(9H-carbazol-4-yloxy)-3-[[2-(2-methoxyphenoxy)ethyl]amino]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d l15 ibib abs hitstr tot

L15 ANSWER 1 OF 2 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2007:38855 HCAPLUS
DOCUMENT NUMBER: 146:142505
TITLE: Process for preparation of carvedilol
INVENTOR(S): Kumar, Ashok; Saxena, Ashvini; Bhattacharyya, Anindya; Singh Sengar, Amit Vikram; Pathak, Gunjan Pramod; Soudagar, Satish Rajanikant; Mathur, Pramil Kumar; Nijasure, Avinash Manohar; Salunke, Sanjukumar Motiram; Gautam, Prashant; Ramsingh, Thakur Gajendrasingh; Jadhav, Dilip Uttam

PATENT ASSIGNEE(S): IPCA Laboratories Ltd., India
SOURCE: Eur. Pat. Appl., 11pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1741700	A1	20070110	EP 2006-116752	20060706
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU				
IN 2005MU00807	A	20070629	IN 2005-MU807	20050706
US 20070027202	A1	20070201	US 2006-480526	20060705
PRIORITY APPLN. INFO.:			IN 2005-MU807 A	20050706

OTHER SOURCE(S): CASREACT 146:142505
AB Disclosed herein is a process for preparation of carvedilol free from impurity, which comprises reaction of 4-(2,3-epoxypropoxy)carbazole with 2-(2-methoxyphenoxy)ethylamine in a polar aprotic solvent, followed by isolation of carvedilol as an acid addition salt and subsequent conversion into pure carvedilol .
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 2 OF 2 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2004:1154673 HCAPLUS
DOCUMENT NUMBER: 142:93675
TITLE: A process for preparation of 1-[9H-carbazol-4-yloxy]-3-[[2-(2-methoxyphenoxy)ethyl]amino]propan-2-ol
INVENTOR(S): Chhabada, Vijay Chhangamal; Rehani, Rajeev Budhdev; Thennati, Rajamannar
PATENT ASSIGNEE(S): Sun Pharmaceutical Industries Limited, India
SOURCE: PCT Int. Appl., 27 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004113296	A1	20041229	WO 2004-IN52	20040304
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

IN 2003MU00647	A	20050211	IN 2003-MU647		20030620
US 20060270858	A1	20061130	US 2005-553957		20051019
PRIORITY APPLN. INFO.:			IN 2003-MU647	A	20030620
			IN 2003-MU721	A	20030717
			WO 2004-IN52	W	20040304

OTHER SOURCE(S): CASREACT 142:93675; MARPAT 142:93675
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The present invention provides a process for preparation of 1-[9H-carbazol-4-yloxy]-3-[[2-(2-methoxyphenoxy)ethyl]amino]-propan-2-ol (I) in racemic form or in the form of optically active R or S enantiomer or its pharmaceutically acceptable salt, comprising, reacting 4-(oxiranylmethoxy)-9H-carbazole (II) or the R or S enantiomer thereof with a compound of formula (III) (wherein R1 = benzyl or substituted benzyl), in an aprotic organic solvent in presence of a catalyst to obtain a compound of formula (IV) (wherein R1 is as defined above), or the R or S enantiomer thereof. The resultant compound IV is subjected to debenzylation reaction by catalytic hydrogenation to obtain the compound I, if desired converting the resultant compound I to a pharmaceutically acceptable salt thereof. Thus, to 400 mL EtOAc, 70 g (0.27 mol) anhydrous N-[2-[2-(methoxy)phenoxy]ethyl]benzylamine, 10.25 g (0.075 mol) anhydrous ZnCl2, and 50 g (0.21 mol) 4-(oxiranylmethoxy)-9H-carbazole were added and the reaction mixture was heated to 70-75° for 3 h (TLC control for checking conversion to N-benzylcarvedilol), cooled to ambient temperature, and quenched into 100 mL 12-15% aqueous NH3. The aqueous layer was separated, and the product enriched organic layer was washed with water till neutral Ph, treated with charcoal, and filtered. To this solution of N-benzyl carvedilol in EtOAc, 7 g wet 5% Pd/C catalyst (50% moisture content) was added and the reaction mixture was hydrogenated at 3.5-4.5 Kg/cm2 at temperature 60-70° for a period of about 10 h and filtered. The filtrate was concentrated to remove EtOAc. To the resultant syrupy mass n-butanol (100 mL) was added and the solution was stirred for .apprx.10 h. The crystals were separated by filtration, washed successively with n-butanol (50 mL) and toluene (50 mL) to obtain carvedilol (47 g) which was recrystd. from 3 vols. EtOAc to obtain carvedilol (42 g).

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d l16 ibib abs hitstr tot

L16 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2007:38855 HCAPLUS
DOCUMENT NUMBER: 146:142505
TITLE: Process for preparation of carvedilol
INVENTOR(S): Kumar, Ashok; Saxena, Ashvini; Bhattacharyya, Anindya; Singh Sengar, Amit Vikram; Pathak, Gunjan Pramod; Soudagar, Satish Rajanikant; Mathur, Pramil Kumar; Nijasure, Avinash Manohar; Salunke, Sanjukumar Motiram; Gautam, Prashant; Ramsingh, Thakur

Gajendrasingh; Jadhav, Dilip Uttam
PATENT ASSIGNEE(S): IPCA Laboratories Ltd., India
SOURCE: Eur. Pat. Appl., 11pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1741700	A1	20070110	EP 2006-116752	20060706
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU				
IN 2005MU00807	A	20070629	IN 2005-MU807	20050706
US 20070027202	A1	20070201	US 2006-480526	20060705
PRIORITY APPLN. INFO.:			IN 2005-MU807 A	20050706

OTHER SOURCE(S): CASREACT 146:142505
AB Disclosed herein is a process for preparation of carvedilol free from impurity, which comprises reaction of 4-(2,3-epoxypropoxy)carbazole with 2-(2-methoxyphenoxy)ethylamine in a polar aprotic solvent, followed by isolation of carvedilol as an acid addition salt and subsequent conversion into pure carvedilol.
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d l18 ibib abs hitstr tot

L18 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2007:38855 HCAPLUS
DOCUMENT NUMBER: 146:142505
TITLE: Process for preparation of carvedilol
INVENTOR(S): Kumar, Ashok; Saxena, Ashvini; Bhattacharyya, Anindya; Singh Sengar, Amit Vikram; Pathak, Gunjan Pramod; Soudagar, Satish Rajanikant; Mathur, Pramil Kumar; Nijasure, Avinash Manohar; Salunke, Sanjukumar Motiram; Gautam, Prashant; Ramsingh, Thakur Gajendrasingh; Jadhav, Dilip Uttam
PATENT ASSIGNEE(S): IPCA Laboratories Ltd., India
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CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
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PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1741700	A1	20070110	EP 2006-116752	20060706
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU				
IN 2005MU00807	A	20070629	IN 2005-MU807	20050706

US 20070027202 A1 20070201 US 2006-480526 20060705
PRIORITY APPLN. INFO.: IN 2005-MU807 A 20050706
OTHER SOURCE(S): CASREACT 146:142505
AB Disclosed herein is a process for preparation of carvedilol free from impurity, which comprises reaction of 4-(2,3-epoxypropoxy)carbazole with 2-(2-methoxyphenoxy)ethylamine in a polar aprotic solvent, followed by isolation of carvedilol as an acid addition salt and subsequent conversion into pure carvedilol.
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=> LOG Y

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	98.35	277.38

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-10.40	-10.40

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